## WHAT IS CLAIMED IS

1. A method for producing a compound of the formula:

$$R^{a2}$$
  $R^{a1}$   $R^{a2}$   $R^{a3}$   $R^{a3}$   $R^{a4}$   $R^{a5}$   $R^{a8}$   $R^{a8}$   $R^{a8}$ 

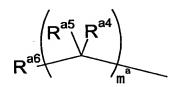
wherein

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are each a hydrogen atom, a substituted hydroxy, a 5 R<sup>a1</sup> and R<sup>a2</sup> substituted thiol, a substituted amino, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group or an acyl;

is a group of the formula: 10 Ra3



wherein  $R^{a4}$  and  $R^{a5}$  are each a hydrogen atom, an optionally substituted hydroxy, an optionally substituted thiol, an optionally substituted amino, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group or an acyl, or  $\ensuremath{\text{R}}^{a4}$  and  $\ensuremath{\text{R}}^{a5}$ in combination form oxo,

Ra6 is an optionally substituted aromatic group, and ma is an integer of 0 to 10; or

20 two or three from  $R^{a1}$ ,  $R^{a2}$  and  $R^{a3}$  form an optionally substituted ring, together with the adjacent carbon atom; and are each a hydrogen atom, a halogen, an optionally Ra7 and Ra8 substituted hydroxy, an optionally substituted thiol, an optionally substituted amino, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group or an acyl,

which method comprises reacting a compound of the formula:

$$R^{a2}$$
 $X^a$ 
 $R^{a3}$ 

wherein  $X^a$  is a leaving group and other symbols are as defined above, or a salt thereof, and a compound of the formula:

$$\mathbb{R}^{a7}$$
  $\mathbb{R}^{a8}$ 

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base, or

wherein each symbol is as defined above, or a salt thereof, (1) in a secondary or tertiary alcohol in the presence of a

(2) in the absence of a base.

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- 2. The production method of claim 1, which comprises reaction in a secondary or tertiary alcohol in the presence of a base.
- 3. The production method of claim 1, which comprises reaction in a tertiary alcohol in the presence of a base.
  - 4. The production method of claim 1, wherein  $\mathbf{R}^{\mathbf{a}\mathbf{1}}$  is a hydrogen atom.
- 20 5. The production method of claim 1, wherein  $R^{a1}$  and  $R^{a2}$  are each a hydrogen atom.
  - 6. The production method of claim 1, wherein  $R^{a3}$  is a group of the formula:

$$R^{a6}$$
 $R^{a5}$ 
 $R^{a4}$ 

wherein each symbol is as defined in claim 1,

- 7. The production method of claim 6, wherein  $R^{a4}$  and  $R^{a5}$  are each 5 a hydrogen atom.
  - 8. The production method of claim 6, wherein  $R^{a6}$  is an optionally substituted phenyl.
- 10 9. The production method of claim 6, wherein ma is 3.
  - 10. The production method of claim 1, wherein  $R^{a7}$  and  $R^{a8}$  are each a hydrogen atom.
- 15 11. A salt of a compound of the formula:

12. A compound of the formula:

- 20 wherein  $X^{a'}$  is a halogen atom,  $OSO_2R^a$  or  $OCOR^a$  wherein  $R^a$  is an optionally substituted hydrocarbon group.
  - 13. A method for producing a compound of the formula:

$$\bigvee_{0} \bigvee_{\chi^{\mathbf{a}'}} \chi^{\mathbf{a}'}$$

wherein  $X^{a'}$  is a halogen atom,  $OSO_2R^a$  or  $OCOR^a$  wherein  $R^a$  is an optionally substituted hydrocarbon group, which comprises reacting a compound of the formula:

5 wherein M<sup>a</sup> is a hydrogen atom, an alkali metal atom or an alkaline earth metal atom, and 1) thionyl halide, 2) oxalyl halide, 3) a compound of the formula:

wherein  $R^a$  is as defined above and  $X^a$  is a leaving group, or 4) 10 a compound of the formula:

wherein Ra and Xa are as defined above, under basic conditions.

14. A compound of the formula:

or a salt thereof.

- 15. The production method of claim 1, wherein  $R^{a1}$ ,  $R^{a2}$ ,  $R^{a7}$  and  $R^{a8}$  are each a hydrogen atom and  $R^{a3}$  is 3-[4-(t-20 butoxyphenyl)] propyl.
  - 16. A method for producing a compound of the formula:

25 which comprises reacting a compound of the formula:

wherein  $M^a$  is a hydrogen atom, an alkaline metal atom or an alkaline earth metal atom, and 1) thionyl halide, 2) oxalyl halide, 3) a compound of the formula:

$$R^aSO_2X^a$$
 or  $(R^aSO_2)_2O$ 

wherein  $R^a$  is an optionally substituted hydrocarbon group and  $X^a$  is a leaving group or 4) a compound of the formula:

wherein  $R^a$  and  $X^a$  are as defined above, under basic conditions to give a compound of the formula:

wherein  $X^{a'}$  is a halogen atom,  $OSO_2R^a$  or  $OCOR^a$  wherein  $R^a$  is as defined above, and reacting this compound with a compound of the formula:

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or a salt thereof, (1) in the presence of a base in a secondary or tertiary alcohol, or

(2) in the absence of a base to give a compound of the formula:

20 and deprotecting this compound.

17. A method for producing a compound of the formula:

which comprises deprotecting a compound of the formula:

18. A method for producing a compound of the formula:

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which comprises deprotecting a compound of the formula:

19. A method for producing a compound of the formula:

15 wherein R<sup>b1</sup>, R<sup>b2</sup> and R<sup>b3</sup> are each a hydrogen atom, an optionally substituted hydroxy, an optionally substituted thiol, an optionally substituted amino, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group or an acyl, or two or three from R<sup>b1</sup>, R<sup>b2</sup> and R<sup>b3</sup> form, together

with the adjacent carbon atom, an optionally substituted ring, and R<sup>b4</sup> and R<sup>b5</sup> are each a hydrogen atom, an optionally substituted hydroxy, an optionally substituted thiol, an optionally substituted amino, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group or an acyl, and R<sup>b6</sup> is an optionally substituted alkyl or an optionally substituted phenyl, or a salt thereof, which comprises reacting a compound of the formula:

$$\begin{array}{c|c}
R^{b1} \\
\hline
R^{b2} & NH_2 \\
R^{b3}
\end{array}$$

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wherein each symbol is as defined above, or a salt thereof and a compound of the formula:

wherein  $X^{b1}$  and  $X^{b2}$  are each a halogen, and  $R^{b4}$ ,  $R^{b5}$  and  $R^{b6}$  are as 15 defined above, or a salt thereof, and treating the reaction mixture with a base.

20. The production method of claim 19, wherein  $R^{b1}$  is a hydrogen atom.

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- 21. The production method of claim 19, wherein  $R^{b1}$  and  $R^{b2}$  are each a hydrogen atom.
- 22. The production method of claim 19, wherein  $R^{b3}$  is a group of the formula:

wherein  $R^{b7}$  and  $R^{b8}$  are each a hydrogen atom, an optionally substituted hydroxy, an optionally substituted thiol, an optionally substituted amino, an optionally substituted

- by hydrocarbon group, an optionally substituted heterocyclic group or an acyl, or  $R^{b7}$  and  $R^{b8}$  in combination form oxo,  $R^{b9}$  is an optionally substituted aromatic group, and  $m^b$  is an integer of 0 to 10.
- 10 23. The production method of claim 22, wherein  $R^{b7}$  and  $R^{b8}$  are each a hydrogen atom,  $R^{b9}$  is an optionally substituted phenyl, and  $m^b$  is 3.
- 24. The production method of claim 23, wherein  $R^{b1}$  and  $R^{b2}$  are 15 each a hydrogen atom.
  - 25. The production method of claim 19, wherein  $R^{b4}$  and  $R^{b5}$  are each a hydrogen atom.
- 20 26. The production method of claim 19, wherein  $R^{b6}$  is a phenyl substituted by alkyl.
  - 27. A method for producing a compound of the formula:

$$R^{b10}O - CO - R^{b12}NH_2$$

wherein,  $R^{b10}$  is an optionally substituted amino, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group or an acyl,  $R^{b11}$  is a substituent,  $n^b$  is an integer of 0 to 4, and  $R^{b12}$  is an optionally substituted

alkylene, an optionally substituted alkenylene or an optionally substituted alkynylene, or a salt thereof, which comprises reacting a compound of the formula:

$$R^{b10}O$$
 $H$ 
 $(R^{b11})_{n^b}$ 

5 wherein each symbol is as defined above, or a salt thereof and a compound of the formula:

wherein  $R^{b12}$  is as defined above, a salt thereof or a reactive derivative thereof.

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28. A method for producing a compound of the formula:

$$R^{b10}O$$
  $CH_2$   $R^{b12}NH_2$   $(R^{b11})_{nb}$ 

wherein each symbol is as defined in claim 27, or a salt thereof, which comprises reacting a compound of the formula

$$R^{b10}O$$
 $H$ 
 $(R^{b11})_{n^b}$ 

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wherein each symbol is as defined above, or a salt thereof, and a compound of the formula

wherein R<sup>b12</sup> is as defined above, or a salt thereof or a reactive derivative thereof, and reducing the resulting compound of the formula

$$R^{b10}O \xrightarrow{\qquad \qquad } CO - R^{\underline{b12}}NH_2$$

$$(R^{b11})_{n^b}$$

wherein each symbol is as defined above, or a salt thereof.

29. A method for producing a compound of the formula:

$$R^{b10}O$$
  $CH_2$   $R^{b12}N$   $N$   $R^{b5}$ 

wherein each symbol is as defined in claim 27, or a salt thereof, which comprises reacting a compound of the formula

$$R^{b10}O$$
 $H$ 
 $(R^{b11})_{n^b}$ 

wherein each symbol is as defined above, or a salt thereof, and 10 a compound of the formula

wherein  $R^{b12}$  is as defined above, a salt thereof or a reactive derivative thereof, reducing the resulting compound of the formula

$$R^{b10}O - CO - R^{b12}NH_2$$

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wherein each symbol is as defined above, or a salt thereof, reacting the resulting compound of the formula

$$R^{b10}O - CH_2 - R^{b12}NH_2$$

wherein each symbol is as defined above, or a salt thereof with 20 a compound of the formula

wherein each symbol is as defined in claim 19, or a salt thereof, and treating the reaction mixture with a base.

- 5 30. The production method of claim 29, wherein  $R^{b4}$  and  $R^{b5}$  are each a hydrogen atom,  $R^{b10}$  is a  $C_{1-3}$  alkyl,  $R^{b12}$  is a trimethylene and  $n^b$  is 0.
- 31. A trifluoromethanesulfonate of a compound of the formula:

$$R^{b10}O - CO - R^{b12'}NH_2$$

wherein  $R^{b12}$  is trimethylene and other symbols are as defined in claim 27.

15 32. A method for producing a compound of the formula:

wherein Ar<sup>c</sup> is an optionally substituted aromatic group, R<sup>c1</sup> and R<sup>c2</sup> are each a hydrogen atom or a lower alkyl, R<sup>c3</sup> and R<sup>c4</sup> are each a hydrogen atom or a lower alkyl, Y<sup>c</sup> is C, S or SO and R<sup>c5</sup> is a hydrogen atom, a lower alkyl, an optionally substituted phenyl, an optionally substituted benzyloxy or an optionally substituted benzylamino, or a salt thereof, which comprises reacting a reaction mixture of a compound of the formula:

wherein each symbol is as defined above, or a salt thereof and a compound of the formula:

$$X^{c1} \underbrace{\hspace{1cm} V^{c2}}_{R^{c3}} X^{c2}$$

5 wherein  $X^{c1}$  and  $X^{c2}$  are each a halogen, and other symbols are as defined above, or a salt thereof, with a compound of the formula:

$$M^{c} \stackrel{\text{O}}{\searrow} Y^{c} \stackrel{\text{II}c}{\nearrow} R^{c5}$$

wherein  $M^c$  is a hydrogen atom or a metal, and other symbols are 10 as defined above, or a salt thereof.

- 33. The production method of claim 32, wherein Arc is 4-trifluoromethylphenyl.
- 15 34. The production method of claim 32, wherein  $R^{c1}$ ,  $R^{c2}$ ,  $R^{c3}$  and  $R^{c4}$  are each a hydrogen atom and  $R^{c5}$  is methyl.
  - 35. The compound of the formula

- 20 wherein each symbol is as defined in claim 32, or a salt thereof.
  - 36. A method for producing a compound of the formula:

$$Ar^{c} \xrightarrow{R^{c1}} O \xrightarrow{R^{c3}} R^{c3}$$

wherein each symbol is as defined in claim 32, or a salt thereof, which comprises subjecting a compound of the formula

5 wherein each symbol is as defined above, or a salt thereof to hydrolysis or catalytic reduction, subjecting the obtained compound of the formula:

$$Ar^{c} \xrightarrow{R^{c1}} OH$$

$$R^{c2} \xrightarrow{Q} R^{c3}$$

wherein each symbol is as defined above, or a salt thereof to 10 sulfonylation or halogenation, and reacting the compound with a compound of the formula:

wherein n° is an integer of 1 to 10, or a salt thereof.

- 15 37. The production method of claim 36, wherein Arc is 4-trifluoromethylphenyl.
  - 38. The production method of claim 36, wherein  $R^{c1}$ ,  $R^{c2}$ ,  $R^{c3}$  and  $R^{c4}$  are each a hydrogen atom,  $R^{c5}$  is methyl and  $n^c$  is 4.

39. A method for producing a compound of the formula

wherein each symbol is as defined in claim 32, or a salt thereof, which comprises reacting a reaction mixture of a 5 compound of the formula:

wherein each symbol is as defined above, or a salt thereof and a compound of the formula:

$$X^{c1}$$
 $X^{c2}$ 
 $X^{c2}$ 

wherein  $R^{c3}$  and  $R^{c4}$  are as defined above and  $X^{c1}$  and  $X^{c2}$  are as defined in claim 32, or a salt thereof, with a compound of the formula:

$$M^{c} \stackrel{O}{\searrow} Y^{c} \stackrel{H^{c}}{\searrow} R^{c5}$$

wherein each symbol is as defined in claim 32, or a salt

15 thereof, subjecting the resulting compound to hydrolysis or

catalytic reduction, and reacting the obtained compound with a

compound of the formula:

wherein n<sup>c</sup> is as defined above, or a salt thereof.

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40. The production method of claim 39, wherein Arc is 4-trifluoromethylphenyl.

41. The production method of claim 39, wherein  $R^{c1}$ ,  $R^{c2}$ ,  $R^{c3}$  and  $R^{c4}$  are each a hydrogen atom,  $R^{c5}$  is methyl and  $n^c$  is 4.

## 5 42. A method for producing a compound of the formula:

$$R^{c1}$$
 $R^{c2}$ 
 $R^{c3}$ 
 $R^{c3}$ 
 $R^{c2}$ 

wherein n<sup>c</sup> is an integer of 1 to 10 and other symbols are as defined in claim 32, or a salt thereof, which comprises subjecting a reaction mixture of a compound of the formula:

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wherein each symbol is as defined above, or a salt thereof and a compound of the formula

$$X^{c1}$$
 $X^{c2}$ 
 $X^{c2}$ 

wherein  $R^{c3}$  and  $R^{c4}$  are as defined above and  $X^{c1}$  and  $X^{c2}$  are as defined in claim 32, or a salt thereof, to hydrolysis, subjecting the resulting compound of the formula

$$R^{c1}$$
  $N$   $OH$   $CF_3$   $R^{c2}$   $O$   $R^{c3}$ 

wherein each symbol is as defined above, or a salt thereof to sulfonylation or halogenation, and reacting the resulting compound with a compound of the formula

wherein n<sup>c</sup> is as defined above, or a salt thereof.

43. A method for producing a compound of the formula

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

wherein  $n^c$  is an integer of 1 to 10 and other symbols are as defined in claim 32, or a salt thereof, which comprises reacting a reaction mixture of a compound of the formula

10 wherein each symbol is as defined above, or a salt thereof and a compound of the formula:

$$X^{c1} \xrightarrow{Q} X^{c2}$$

wherein  $R^{c3}$  and  $R^{c4}$  are as defined above and  $X^{c1}$  and  $X^{c2}$  are as defined in claim 32, or a salt thereof, with a compound of the formula:

wherein n<sup>c</sup> is as defined above, or a salt thereof.

44. A compound of the formula:

45. A method for producing a compound of the formula

$$\begin{array}{c|c}
R^{c4} & & \\
R^{c1} & N \\
\end{array}$$

$$\begin{array}{c|c}
R^{c3} & \\
\end{array}$$

$$\begin{array}{c|c}
R^{c2} & \\
\end{array}$$

 $^{5}$  wherein  $n^{c}$  is an integer of 1 to 10 and other symbols are as defined in claims 32, or a salt thereof, which comprises subjecting a compound of the formula

$$\begin{array}{c|c} & R^{c4} \\ \hline R^{c1} & N \\ \hline CF_3 & R^{c2} \\ \end{array}$$

wherein each symbol is as defined above, or a salt thereof to 10 sulfonylation or halogenation, and reacting the resulting compound with a compound of the formula

wherein n<sup>c</sup> is as defined above, or a salt thereof.

46. 1-[4-[4-[[2-[(E)-2-[4-(Trifluoromethyl)phenyl]ethenyl]-1,3-cxazol-4-yl]methoxy]phenyl]butyl]-1H-1,2,3-triazole.

47. The crystal of claim 46, having characteristic peaks at diffraction angles of 6.98, 14.02, 17.56, 21.10 and 24.70

degrees in powder X-ray diffraction.

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48. A pharmaceutical composition comprising the crystal of claim 46.